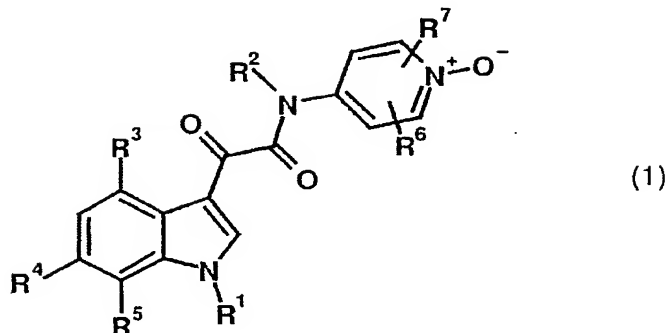


# Claims

1. A compound of the formula 1



5

in which

$R^1$

- 10 (i) is  $-C_{1-10}$ -alkyl, straight-chain or branched-chain, optionally mono- or polysubstituted by  $-OH$ ,  $-SH$ ,  $-NH_2$ ,  $-NHC_{1-6}$ -alkyl,  $-N(C_{1-6}$ -alkyl) $_2$ ,  $-NHC_{6-14}$ -aryl,  $-N(C_{6-14}$ -aryl) $_2$ ,  $-N(C_{1-6}$ -alkyl)( $C_{6-14}$ -aryl),  $-NO_2$ ,  $-CN$ ,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-O-C_{1-6}$ -alkyl,  $-O-C_{6-14}$ -aryl,  $-S-C_{1-6}$ -alkyl,  $-S-C_{6-14}$ -aryl,  $-SO_3H$ ,  $-SO_2C_{1-6}$ -alkyl,  $-SO_2C_{6-14}$ -aryl,  $-OSO_2C_{1-6}$ -alkyl,  $-OSO_2C_{6-14}$ -aryl,  $-COOH$ ,  $-(CO)C_{1-5}$ -alkyl,  $-COO-C_{1-5}$ -alkyl,  $-O(CO)C_{1-5}$ -alkyl, by mono-, bi- or tricyclic saturated or
- 20 mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are preferably N, O and S,
- 25 wherein the  $C_{6-14}$ -aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by  $-C_{1-6}$ -alkyl,
- 30  $-OH$ ,  $-NH_2$ ,  $-NHC_{1-6}$ -alkyl,  $-N(C_{1-6}$ -alkyl) $_2$ ,  $-NO_2$ ,  $-CN$ ,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-O-C_{1-6}$ -alkyl,  $-S-C_{1-6}$ -alkyl,  $-SO_3H$ ,  $-SO_2C_{1-6}$ -alkyl,  $-OSO_2C_{1-6}$ -alkyl,  $-COOH$ ,

-(CO)C<sub>1-5</sub>-alkyl, -COO-C<sub>1-5</sub>-alkyl or/and -O(CO)C<sub>1-5</sub>-alkyl, and wherein the alkyl groups on the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by  
5 -OH, -SH, -NH<sub>2</sub>, -F, -Cl, -Br, -I, -SO<sub>3</sub>H or/and -COOH, or

(ii) is -C<sub>2-10</sub>-alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, optionally mono-  
10 or polysubstituted by -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NHC<sub>6-14</sub>-aryl, -N(C<sub>6-14</sub>-aryl)<sub>2</sub>, -N(C<sub>1-6</sub>-alkyl)(C<sub>6-14</sub>-aryl), -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -O-C<sub>6-14</sub>-aryl, -S-C<sub>1-6</sub>-alkyl, -S-C<sub>6-14</sub>-aryl, -SO<sub>3</sub>H, -SO<sub>2</sub>C<sub>1-6</sub>-alkyl, -SO<sub>2</sub>C<sub>6-14</sub>-aryl,  
15 -OSO<sub>2</sub>C<sub>1-6</sub>-alkyl, -OSO<sub>2</sub>C<sub>6-14</sub>-aryl, -COOH, -(CO)C<sub>1-5</sub>-alkyl, -COO-C<sub>1-5</sub>-alkyl, -O(CO)C<sub>1-5</sub>-alkyl, by mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or  
20 mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are preferably N, O and S,

wherein the C<sub>6-14</sub>-aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -C<sub>1-6</sub>-alkyl,  
25

-OH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -S-C<sub>1-6</sub>-alkyl, -SO<sub>3</sub>H, -SO<sub>2</sub>C<sub>1-6</sub>-alkyl, -OSO<sub>2</sub>C<sub>1-6</sub>-alkyl, -COOH,  
30 -(CO)C<sub>1-5</sub>-alkyl, -COO-C<sub>1-5</sub>-alkyl or/and -O(CO)C<sub>1-5</sub>-alkyl,

and wherein the alkyl groups on the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -  
35 OH, -SH, -NH<sub>2</sub>, -F, -Cl, -Br, -I, -SO<sub>3</sub>H or/and -COOH,

R<sup>2</sup> is hydrogen or -C<sub>1-3</sub>-alkyl,

R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are hydrogen or a hydroxyl group, wherein at least one of these substituents must be a hydroxyl group,

5 R<sup>6</sup> and R<sup>7</sup> may be identical or different and are hydrogen, -C<sub>1-6</sub>-alkyl, -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN, -SO<sub>3</sub>H, -SO<sub>3</sub>-C<sub>1-6</sub>-alkyl, -COOH, -COO-C<sub>1-6</sub>-alkyl, -O(CO)-C<sub>1-5</sub>-alkyl, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -S-C<sub>1-6</sub>-alkyl, -phenyl or -pyridyl, wherein the phenyl or pyridyl  
10 substituents in turn may optionally be substituted one or more times by -C<sub>1-3</sub>-alkyl, -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-3</sub>-alkyl, -N(C<sub>1-3</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN, -SO<sub>3</sub>H, -SO<sub>3</sub>C<sub>1-3</sub>-alkyl, -COOH, -COOC<sub>1-3</sub>-alkyl, -F, -Cl, -Br, -I, -O-C<sub>1-3</sub>-alkyl, -S-C<sub>1-3</sub>-alkyl, or/and -O(CO)C<sub>1-3</sub>-  
15 alkyl, and wherein the alkyl substituents in turn may optionally be substituted one or more times by -OH, -SH, -NH<sub>2</sub>, -F, -Cl, -Br, -I, -SO<sub>3</sub>H, -SO<sub>3</sub>C<sub>1-3</sub>-alkyl, -COOH, -COOC<sub>1-3</sub>-alkyl, -O-C<sub>1-3</sub>-alkyl, -S-C<sub>1-3</sub>-alkyl or/and -O(CO)-C<sub>1-3</sub>-alkyl,  
20 or salts of the compounds of formula 1.

2. A compound as claimed in claim 1 having an asymmetric carbon atom in the D form, the L form  
25 and D,L mixtures, and in the case of a plurality of asymmetric carbon atoms also the diastereomeric forms.
3. A compound as claimed in claim 1 or 2, wherein R<sup>2</sup>  
30 is hydrogen or a methyl group.
4. A compound as claimed in one of claims 1 to 3, wherein R<sup>3</sup> = -H, R<sup>4</sup> = H and R<sup>5</sup> = -OH.
- 35 5. A compound as claimed in one of claims 1 to 4, wherein at least one of R<sup>6</sup> and R<sup>7</sup> is a halogen atom.

6. A compound as claimed in any of claims 1 to 5 selected from:

5 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-chlorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

10 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-chlorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,4-dichlorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

15 N-(1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

20 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-4-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[7-hydroxy-1-(3-nitrobenzyl)-indol-3-yl]glyoxylamide

25 N-(3,5-dichloro-1-oxopyridin-4-yl)-[7-hydroxy-1-(2-nitrobenzyl)-indol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,6-difluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

30 N-(3,5-dichloro-1-oxopyridin-4-yl)-(7-hydroxy-1-isobutylindol-3-yl)glyoxylamide

35 N-(3,5-dichloro-1-oxopyridin-4-yl)-(1-cyclopropylmethyl-7-hydroxyindol-3-yl)glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[7-hydroxy-1-(4-hydroxybenzyl)-indol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-N-methyl-[1-(4-fluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

5 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-6-hydroxyindol-3-yl]glyoxylamide

N-(1-oxopyridin-4-yl)-[1-(2-chlorobenzyl)-6-hydroxyindol-3-yl]glyoxylamide

10 and physiologically tolerated salts thereof.

7. A compound as claimed in any of claims 1 to 6 selected from:

15 N-(3,5-Dichloro-1-oxopyridin-4-yl)-[1-(2,6-difluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide  
and physiologically tolerated salts thereof.

8. A process for preparing compounds of formula 1, which comprises converting N-(pyridine-4-yl)-indol-3-ylglyoxylamides of formula 2 into the  
20 analogous N-(1-oxopyridin-4-yl)-indol-3-ylglyoxylamides of formula 1 by treatment with an oxidizing agent, and liberating the compounds of formula 1 by eliminating a protective group.

25 9. The process as claimed in claim 8, wherein a peracid, in particular m-chloroperbenzoic acid or/and peracetic acid, is used as oxidizing agent.

30 10. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders in which inhibition of phosphodiesterase 4 is therapeutically beneficial.

35 11. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the

treatment of disorders associated with the effect of eosinophils.

12. The use of the compounds of formula 1 as claimed  
5 in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders associated with the effect of neutrophils.
- 10 13. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of hyperproliferative disorders.
- 15 14. A drug product comprising one or more compounds as claimed in any of claims 1 to 6 in addition to conventional physiologically tolerated carriers and/or diluents and excipients.
- 20 15. A process for producing a drug product as claimed in claim 14, which comprises one or more compounds as claimed in any of claims 1 to 6 being processed with conventional pharmaceutical carriers and/or  
25 diluents and other excipients to pharmaceutical preparations, or being converted into a form which can be used therapeutically.
- 30 16. The use of compounds of the general formula 1 as claimed in any of claims 1 to 6 and/or of drug products as claimed in claim 14 alone or in combination with one another or in combination with other active pharmaceutical ingredients.